

IN THE CLAIMS:

Please cancel claims 22–53 and 55–69 without prejudice to further prosecution in a continuation, continuation-in-part, divisional or other related application. These claims are cancelled solely in response to the Examiner's Restriction; and their cancellation is in no way an admission that the inventions defined thereby are not patentable.

For the Examiner's reference, the pending claims are attached hereto as Appendix A. A computer-generated comparison of the claim amendments is attached hereto as Appendix B.

REMARKS

Reconsideration of the restrictions set forth in the Office Action mailed February 2, 2001, is respectfully requested.

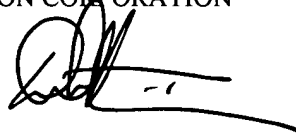
The Applicants note that the claims of Groups III (claims 56 and 57), V (claims 60 and 61), and VII (claims 64–66) are defined by the compounds recited in claim 1. The Applicants respectfully submit that the determination of patentability of these additional Groups can be made in conjunction with the examination of the claims of the elected Group I without significant additional effort by the Examiner. The Applicants therefore respectfully request that the restriction of Groups III, V, and VII be removed and claims 56, 57, 60, 61, and 64–66 be combined with the claims of Group I.

CONCLUSION

In view of the foregoing, it is respectfully submitted that the above-identified patent application is in condition for allowance. A Notice of Allowance is therefore respectfully requested.

The Examiner is encouraged to contact the undersigned at the telephone number or e-mail address provided below to resolve any remaining questions or issues.

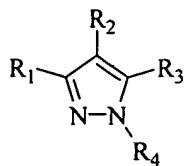
Respectfully submitted,
CHIRON CORPORATION

A handwritten signature in black ink, appearing to read 'David P. Lentini', with a long horizontal flourish extending to the right.

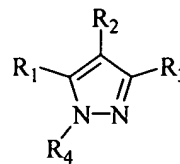
David P. Lentini
Registration No. 33,944

David P. Lentini
CHIRON CORPORATION
4560 Horton Street, M/S R440
Emeryville, CA 94608-2916
Tel.: (510) 923-2706
Fax: (510) 655-3542
E-mail: david_lentini@cc.chiron.com

1. A compound having a formula selected from the group consisting of:



and



and their pharmaceutically acceptable salts, wherein:

R₁ and R₃ are selected independently from the group consisting of optionally substituted loweralkyl, aryl, heteroaryl, cycloalkyl, cycloheteroalkyl, aralkyl, heteroaralkyl, (cycloalkyl)alkyl, and (cycloheteroalkyl)alkyl;

R₂ is selected from the group consisting of hydrogen, halo, cyano, nitro, thio, amino, carboxyl, formyl, and optionally substituted loweralkyl, loweralkylcarbonyloxy, arylcarbonyloxy, heteroarylcarbonyloxy, cycloalkylcarbonyloxy, cycloheteroalkylcarbonyloxy, aralkylcarbonyloxy, heteroaralkylcarbonyloxy, (cycloalkyl)alkylcarbonyloxy, (cycloheteroalkyl)alkylcarbonyloxy, loweralkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, cycloalkylcarbonyl, cycloheteroalkylcarbonyl, aralkylcarbonyl, heteroaralkylcarbonyl, (cycloalkyl)alkylcarbonyl, (cycloheteroalkyl)alkylcarbonyl, loweralkylaminocarbonyl, arylaminocarbonyl, aralkylaminocarbonyl, heteroarylaminocarbonyl, heteroaralkylaminocarbonyl, cycloalkylaminocarbonyl, (cycloalkyl)alkylaminocarbonyl, cycloheteroalkylaminocarbonyl, (cycloheteroalkyl)alkylaminocarbonyl, loweralkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, cycloalkylcarbonylamino, cycloheteroalkylcarbonylamino, aralkylcarbonylamino, heteroaralkylcarbonylamino, (cycloalkyl)alkylcarbonylamino, (cycloheteroalkyl)alkylcarbonylamino, loweralkylamino, arylamino, aralkylamino, heteroarylamino, heteroaralkylamino, loweralkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl, cycloheteroalkylsulfonyl, aralkylsulfonyl, heteroaralkylsulfonyl, (cycloalkyl)alkylsulfonyl, (cycloheteroalkyl)alkylsulfonyl, loweralkylsulfinyl, arylsulfinyl, heteroarylsulfinyl, cycloalkylsulfinyl, cycloheteroalkylsulfinyl, aralkylsulfinyl, heteroaralkylsulfinyl, (cycloalkyl)alkylsulfinyl, (cycloheteroalkyl)alkylsulfinyl, loweralkyloxy, aryloxy, heteroaryloxy, cycloalkyloxy, cycloheteroalkyloxy, aralkyloxy, heteroaralkyloxy, (cycloalkyl)alkyloxy, and (cycloheteroalkyl)alkyloxy, loweralkylthio, arylthio, heteroarylthio, cycloalkylthio, cycloheteroalkylthio, aralkylthio, heteroaralkylthio, (cycloalkyl)alkylthio, (cycloheteroalkyl)alkylthio, loweralkylthiocarbonyl, arylthiocarbonyl, heteroarylthiocarbonyl, cycloalkylthiocarbonyl, cycloheteroalkylthiocarbonyl, aralkylthiocarbonyloxythiocarbonyl, heteroaralkylthiocarbonyl, (cycloalkyl)alkylthiocarbonyl, (cycloheteroalkyl)alkylthiocarbonyl,

loweralkyloxycarbonyl, aryloxycarbonyl, heteroaryloxycarbonyl, cycloalkyloxycarbonyl, cycloheteroalkyloxycarbonyl, aralkyloxycarbonyloxycarbonyl, heteroaralkyloxycarbonyl, (cycloalkyl)alkyloxycarbonyl, (cycloheteroalkyl)alkyloxycarbonyl, iminoloweralkyl, iminocycloalkyl, iminocycloheteroalkyl, iminoaralkyl, iminoheteroaralkyl, (cycloalkyl)iminoalkyl, (cycloheteroalkyl)iminoalkyl, (cycloiminoalkyl)alkyl, (cycloiminoheteroalkyl)alkyl, oximinoloweralkyl, oximinocycloalkyl, oximinocycloheteroalkyl, oximinoaralkyl, oximinoheteroaralkyl, (cycloalkyl)oximinoalkyl, (cyclooximinoalkyl)alkyl, (cyclooximinoheteroalkyl)alkyl, and (cycloheteroalkyl)oximinoalkyl; and

R₄ is selected from the group consisting of hydrogen, carboxyl, formyl, and optionally substituted loweralkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, cycloalkyl, cycloheteroalkyl, loweralkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, cycloalkylcarbonyl, cycloheteroalkylcarbonyl, aralkylcarbonyl, heteroaralkylcarbonyl, (cycloalkyl)alkylcarbonyl, (cycloheteroalkyl)alkylcarbonyl, loweralkylaminocarbonyl, arylaminocarbonyl, aralkylaminocarbonyl, heteroarylaminocarbonyl, heteroaralkylaminocarbonyl, cycloalkylaminocarbonyl, (cycloalkyl)alkylaminocarbonyl, cycloheteroalkylaminocarbonyl, (cycloheteroalkyl)alkylaminocarbonyl, loweralkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl, cycloheteroalkylsulfonyl, aralkylsulfonyl, heteroaralkylsulfonyl, (cycloalkyl)alkylsulfonyl, (cycloheteroalkyl)alkylsulfonyl, loweralkylsulfinyl, arylsulfinyl, heteroarylsulfinyl, cycloalkylsulfinyl, cycloheteroalkylsulfinyl, aralkylsulfinyl, heteroaralkylsulfinyl, (cycloalkyl)alkylsulfinyl, (cycloheteroalkyl)alkylsulfinyl, arylthiocarbonyl, heteroarylthiocarbonyl, cycloalkylthiocarbonyl, cycloheteroalkylthiocarbonyl, aralkylthiocarbonyloxycarbonyl, heteroaralkylthiocarbonyl, (cycloalkyl)alkylthiocarbonyl, (cycloheteroalkyl)alkylthiocarbonyl, loweralkyloxycarbonyl, aryloxycarbonyl, heteroaryloxycarbonyl, cycloalkyloxycarbonyl, cycloheteroalkyloxycarbonyl, aralkyloxycarbonyloxycarbonyl, heteroaralkyloxycarbonyl, (cycloalkyl)alkyloxycarbonyl, (cycloheteroalkyl)alkyloxycarbonyl, carboxamidino, loweralkylcarboxamidino, arylcarboxamidino, aralkylcarboxamidino, heteroarylcarboxamidino, heteroaralkylcarboxamidino, cycloalkylcarboxamidino, cycloheteroalkylcarboxamidino.

2. The compound of claim 1, wherein R₁ and R₃ are selected independently from the group consisting of optionally substituted cycloalkyl, cycloheteroalkyl, (cycloalkyl)alkyl, and (cycloheteroalkyl)alkyl.
3. The compound of claim 1, wherein R₁ and R₃ are selected independently from the group consisting of optionally substituted aryl, heteroaryl, aralkyl, and heteroaralkyl.
4. The compound of claim 3, wherein R₁ and R₃ are selected independently from the group consisting of optionally substituted heteroaryl and heteroaralkyl.

Appendix B

5. The compound of claim 3, wherein R_1 and R_3 are selected independently from the group consisting of optionally substituted aryl and aralkyl.
6. The compound of claim 5, wherein at least one of R_1 and R_3 is substituted with at least one hydroxyl, alkyloxy, aryloxy, thio, alkylthio, or arylthio group.
7. The compound of claim 6, wherein at least one of R_1 and R_3 is selected independently from the group consisting of phenyl, phenyloxyloweralkyl, and phenylloweralkyl.
8. The compound of claim 7, wherein at least one of R_1 and R_3 is substituted optionally with a substituent selected from the group consisting of halogen, nitro, cyano, loweralkyl, haloloweralkyl, loweralkyloxy, haloloweralkyloxy, carboxy, loweralkyloxy carbonyl, aryloxy carbonyl, (cycloloweralkyl)oxy carbonyl, aralkyloxy carbonyl, heteroaryloxy carbonyl, heteroaralkyloxy carbonyl, (heterocycloloweralkyl)oxy carbonyl, loweralkylsulfinyl, loweralkylsulfonyl, loweralkylthio, arylthio, loweralkylcarbonyloxy, arylcarbonyloxy, aralkylcarbonyloxy, heteroarylcarbonyloxy, heteroaralkylcarbonyloxy, (cycloloweralkyl)carbonyloxy, alkylsulfonylamino, (heterocycloloweralkyl)carbonyloxy, aminocarbonyl, loweralkylaminocarbonyl, arylaminocarbonyl, aralkylaminocarbonyl, heteroarylaminocarbonyl, and heteroaralkylaminocarbonyl.
9. The compound of claim 8, wherein at least one of R_1 and R_3 is substituted optionally with a substituent selected from the group consisting of halogen, nitro, cyano, loweralkyl, haloloweralkyl, loweralkyloxy, haloloweralkyloxy, carboxy, loweralkylthio, aminocarbonyl, and loweralkylsulfinyl.
10. The compound of claim 1, wherein R_2 is selected from the group consisting of hydrogen, halo, and optionally substituted loweralkyl, haloloweralkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, aryloxyalkyl, arylthioalkyl, arylcarbonyl, heteroarylcarbonyl, loweralkylcarbonyl, aminocarbonyl, arylaminocarbonyl, loweralkylaminocarbonyl, aralkylaminocarbonyl, (heterocycloloweralkyl)alkylaminocarbonyl, heteroarylaminocarbonyl, heteroaralkylaminocarbonyl, (cycloloweralkyl)aminocarbonyl, formyl, and alkenyl.
11. The compound of claim 10, wherein R_2 is selected from the group consisting of hydrogen and halo.
12. The compound of claim 10, wherein R_2 is selected from the group consisting of optionally substituted phenyl, phenylloweralkyl, hydroxyphenyl, loweralkyloxyphenyl, haloloweralkylsulfonyl loweralkyloxyphenyl, diloweralkylaminoloweralkyloxyphenyl, (cycloaminoloweralkyl)loweralkyloxyphenyl, and (heterocycloalkyl)loweralkyloxyphenyl.

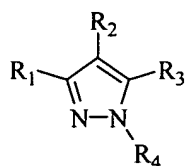
13. The compound of claim 10, wherein R₂ is selected from the group consisting of optionally substituted loweralkyl, haloloweralkyl, hydroxyalkyl, phenyloxyloweralkyl, hydroxyphenyloweralkyl, haloloweralkylsulfonyloweralkyl, and phenylthioloweralkyl.
14. The compound of claim 10, wherein R₂ is selected from the group consisting of optionally substituted phenylcarbonyl, (heterocycloalkyl)loweralkyloxyphenylcarbonyl, hydroxyphenylcarbonyl, halophenylcarbonyl, phenylloweralkylaminocarbonyl, diloweralkylaminocarbonyl, phenylloweralkylaminocarbonyl, hydroxyphenylloweralkylaminocarbonyl, cycloalkylaminocarbonyl, loweralkylphenylcarbonyl, haloloweralkylsulfonyloweralkyloxyphenylcarbonyl, and nitrophenylcarbonyl.
15. The compound of claim 14, wherein R₁ and R₃ are selected independently from the group consisting of optionally substituted cycloalkyl, cycloheteroalkyl, (cycloalkyl)alkyl, and (cycloheteroalkyl)alkyl.
16. The compound of claim 15, wherein R₁ and R₃ are selected independently from the group consisting of optionally substituted aryl, heteroaryl, aralkyl, and heteroaralkyl.
17. The compound of claim 16, wherein R₁ and R₃ are selected independently from the group consisting of optionally substituted aryl and aralkyl.
18. The compound of claim 17, wherein at least one of R₁ and R₃ is substituted with at least one hydroxyl or thio group.
19. The compound of claim 18, wherein at least one of R₁ and R₃ is selected independently from the group consisting of phenyl, phenyloxyloweralkyl, and phenylloweralkyl.
20. The compound of claim 20, wherein at least one of R₁ and R₃ is substituted optionally with a substituent selected from the group consisting of halogen, loweralkyl, haloloweralkyl, loweralkyloxy, haloloweralkyloxy, carboxy, loweralkyloxycarbonyl, aryloxycarbonyl, (cycloalkyl)oxycarbonyl, aralkyloxycarbonyl, heteroaryloxycarbonyl, heteroaralkyloxycarbonyl, (heterocycloalkyl)oxycarbonyl, loweralkylsulfinyl, loweralkylsulfonyl, loweralkylthio, arylthio, loweralkylcarbonyloxy, arylcarbonyloxy, aralkylcarbonyloxy, heteroarylcarbonyloxy, heteroaralkylcarbonyloxy, (cycloalkyl)carbonyloxy, (heterocycloalkyl)carbonyloxy, aminocarbonyl, loweralkylaminocarbonyl, arylaminocarbonyl, aralkylaminocarbonyl, heteroarylaminocarbonyl, and heteroaralkylaminocarbonyl.
21. The compound of claim 20, wherein R₄ is selected from the group consisting of hydrogen and optionally substituted loweralkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, cycloalkyl, cycloheteroalkyl, loweralkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, cycloalkylcarbonyl, cycloheteroalkylcarbonyl,

aralkylcarbonyl, heteroaralkylcarbonyl, (cycloalkyl)alkylcarbonyl, (cycloheteroalkyl)alkylcarbonyl, loweralkylaminocarbonyl, arylaminocarbonyl, aralkylaminocarbonyl, heteroarylaminocarbonyl, heteroaralkylaminocarbonyl, cycloalkylaminocarbonyl, (cycloalkyl)alkylaminocarbonyl, cycloheteroalkylaminocarbonyl, (cycloheteroalkyl)alkylaminocarbonyl, loweralkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl, cycloheteroalkylsulfonyl, aralkylsulfonyl, heteroaralkylsulfonyl, (cycloalkyl)alkylsulfonyl, and (cycloheteroalkyl)alkylsulfonyl.

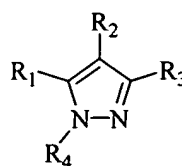
54. A composition for use in treating an estrogen receptor-mediated disorder in a mammal, comprising a therapeutically effective amount of a compound of claim 1 in a pharmaceutically effective carrier.

WHAT IS CLAIMED:

1. A compound having a formula selected from the group consisting of:



and



and their pharmaceutically acceptable salts, wherein:

R₁ and R₃ are selected independently from the group consisting of optionally substituted loweralkyl, aryl, heteroaryl, cycloalkyl, cycloheteroalkyl, aralkyl, heteroaralkyl, (cycloalkyl)alkyl, and (cycloheteroalkyl)alkyl;

R₂ is selected from the group consisting of hydrogen, halo, cyano, nitro, thio, amino, carboxyl, formyl, and optionally substituted loweralkyl, loweralkylcarbonyloxy, arylcarbonyloxy, heteroarylcarbonyloxy, cycloalkylcarbonyloxy, cycloheteroalkylcarbonyloxy, aralkylcarbonyloxy, heteroaralkylcarbonyloxy, (cycloalkyl)alkylcarbonyloxy, (cycloheteroalkyl)alkylcarbonyloxy, loweralkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, cycloalkylcarbonyl, cycloheteroalkylcarbonyl, aralkylcarbonyl, heteroaralkylcarbonyl, (cycloalkyl)alkylcarbonyl, (cycloheteroalkyl)alkylcarbonyl, loweralkylaminocarbonyl, arylaminocarbonyl, aralkylaminocarbonyl, heteroarylaminocarbonyl, heteroaralkylaminocarbonyl, cycloalkylaminocarbonyl, (cycloalkyl)alkylaminocarbonyl, cycloheteroalkylaminocarbonyl, (cycloheteroalkyl)alkylaminocarbonyl, loweralkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, cycloalkylcarbonylamino, cycloheteroalkylcarbonylamino, aralkylcarbonylamino, heteroaralkylcarbonylamino, (cycloalkyl)alkylcarbonylamino, (cycloheteroalkyl)alkylcarbonylamino, loweralkylamino, arylamino, aralkylamino, heteroarylamino, heteroaralkylamino, loweralkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl, cycloheteroalkylsulfonyl, aralkylsulfonyl, heteroaralkylsulfonyl, (cycloalkyl)alkylsulfonyl, (cycloheteroalkyl)alkylsulfonyl, loweralkylsulfinyl, arylsulfinyl, heteroarylsulfinyl, cycloalkylsulfinyl, cycloheteroalkylsulfinyl, aralkylsulfinyl, heteroaralkylsulfinyl, (cycloalkyl)alkylsulfinyl, (cycloheteroalkyl)alkylsulfinyl, loweralkyloxy, aryloxy, heteroaryloxy, cycloalkyloxy, cycloheteroalkyloxy, aralkyloxy, heteroaralkyloxy, (cycloalkyl)alkyloxy, and (cycloheteroalkyl)alkyloxy, loweralkylthio, arylthio, heteroarylthio, cycloalkylthio, cycloheteroalkylthio, aralkylthio, heteroaralkylthio, (cycloalkyl)alkylthio, (cycloheteroalkyl)alkylthio, loweralkylthiocarbonyl, arylthiocarbonyl, heteroarylthiocarbonyl, cycloalkylthiocarbonyl, cycloheteroalkylthiocarbonyl, aralkylthiocarbonyloxythiocarbonyl, heteroaralkylthiocarbonyl, (cycloalkyl)alkylthiocarbonyl, (cycloheteroalkyl)alkylthiocarbonyl,

loweralkyloxycarbonyl, aryloxycarbonyl, heteroaryloxycarbonyl, cycloalkyloxycarbonyl, cycloheteroalkyloxycarbonyl, aralkyoxycarbonyloxycarbonyl, heteroaralkyloxycarbonyl, (cycloalkyl)alkyloxycarbonyl, (cycloheteroalkyl)alkyloxycarbonyl, iminoloweralkyl, iminocycloalkyl, iminocycloheteroalkyl, iminoaralkyl, iminoheteroaralkyl, (cycloalkyl)iminoalkyl, (cycloheteroalkyl)iminoalkyl, (cycloiminoalkyl)alkyl, (cycloiminoheteroalkyl)alkyl, oximinoloweralkyl, oximinocycloalkyl, oximinocycloheteroalkyl, oximinoaralkyl, oximinoheteroaralkyl, (cycloalkyl)oximinoalkyl, (cyclooximinoalkyl)alkyl, (cyclooximinoheteroalkyl)alkyl, and (cycloheteroalkyl)oximinoalkyl; and

R₄ is selected from the group consisting of hydrogen, carboxyl, formyl, and optionally substituted loweralkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, cycloalkyl, cycloheteroalkyl, loweralkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, cycloalkylcarbonyl, cycloheteroalkylcarbonyl, aralkylcarbonyl, heteroaralkylcarbonyl, (cycloalkyl)alkylcarbonyl, (cycloheteroalkyl)alkylcarbonyl, loweralkylaminocarbonyl, arylaminocarbonyl, aralkylaminocarbonyl, heteroarylaminocarbonyl, heteroaralkylaminocarbonyl, cycloalkylaminocarbonyl, (cycloalkyl)alkylaminocarbonyl, cycloheteroalkylaminocarbonyl, (cycloheteroalkyl)alkylaminocarbonyl, loweralkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl, cycloheteroalkylsulfonyl, aralkylsulfonyl, heteroaralkylsulfonyl, (cycloalkyl)alkylsulfonyl, (cycloheteroalkyl)alkylsulfonyl, loweralkylsulfinyl, arylsulfinyl, heteroarylsulfinyl, cycloalkylsulfinyl, cycloheteroalkylsulfinyl, aralkylsulfinyl, heteroaralkylsulfinyl, (cycloalkyl)alkylsulfinyl, (cycloheteroalkyl)alkylsulfinyl, arylthiocarbonyl, heteroarylthiocarbonyl, cycloalkylthiocarbonyl, cycloheteroalkylthiocarbonyl, aralkylthiocarbonyloxylthiocarbonyl, heteroaralkylthiocarbonyl, (cycloalkyl)alkylthiocarbonyl, (cycloheteroalkyl)alkylthiocarbonyl, loweralkyloxycarbonyl, aryloxycarbonyl, heteroaryloxycarbonyl, cycloalkyloxycarbonyl, cycloheteroalkyloxycarbonyl, aralkyoxycarbonyloxycarbonyl, heteroaralkyloxycarbonyl, (cycloalkyl)alkyloxycarbonyl, (cycloheteroalkyl)alkyloxycarbonyl, carboxamidino, loweralkylcarboxamidino, arylcarboxamidino, aralkylcarboxamidino, heteroarylcarboxamidino, heteroaralkylcarboxamidino, cycloalkylcarboxamidino, cycloheteroalkylcarboxamidino.

2. The compound of claim 1, wherein R₁ and R₃ are selected independently from the group consisting of optionally substituted cycloalkyl, cycloheteroalkyl, (cycloalkyl)alkyl, and (cycloheteroalkyl)alkyl.
3. The compound of claim 1, wherein R₁ and R₃ are selected independently from the group consisting of optionally substituted aryl, heteroaryl, aralkyl, and heteroaralkyl.

4. The compound of claim 3, wherein R_1 and R_3 are selected independently from the group consisting of optionally substituted heteroaryl and heteroaralkyl.
5. The compound of claim 3, wherein R_1 and R_3 are selected independently from the group consisting of optionally substituted aryl and aralkyl.
6. The compound of claim 5, wherein at least one of R_1 and R_3 is substituted with at least one hydroxyl, alkyloxy, aryloxy, thio, alkylthio, or arylthio group.
7. The compound of claim 6, wherein at least one of R_1 and R_3 is selected independently from the group consisting of phenyl, phenyloxyloweralkyl, and phenylloweralkyl.
8. The compound of claim 7, wherein at least one of R_1 and R_3 is substituted optionally with a substituent selected from the group consisting of halogen, nitro, cyano, loweralkyl, haloloweralkyl, loweralkyloxy, haloloweralkyloxy, carboxy, loweralkyloxycarbonyl, aryloxycarbonyl, (cycloloweralkyl)oxycarbonyl, aralkyloxycarbonyl, heteroaryloxycarbonyl, heteroaralkyloxycarbonyl, (heterocycloloweralkyl)oxycarbonyl, loweralkylsulfinyl, loweralkylsulfonyl, loweralkylthio, arylthio, loweralkylcarbonyloxy, arylcarbonyloxy, aralkylcarbonyloxy, heteroarylcarbonyloxy, heteroaralkylcarbonyloxy, (cycloloweralkyl)carbonyloxy, alkylsulfonylamino, (heterocycloloweralkyl)carbonyloxy, aminocarbonyl, loweralkylaminocarbonyl, arylaminocarbonyl, aralkylaminocarbonyl, heteroarylaminocarbonyl, and heteroaralkylaminocarbonyl.
9. The compound of claim 8, wherein at least one of R_1 and R_3 is substituted optionally with a substituent selected from the group consisting of halogen, nitro, cyano, loweralkyl, haloloweralkyl, loweralkyloxy, haloloweralkyloxy, carboxy, loweralkylthio, aminocarbonyl, and loweralkylsulfinyl.
10. The compound of claim 1, wherein R_2 is selected from the group consisting of hydrogen, halo, and optionally substituted loweralkyl, haloloweralkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, aryloxyalkyl, arylthioalkyl, arylcarbonyl, heteroarylcarbonyl, loweralkylcarbonyl, aminocarbonyl, arylaminocarbonyl, loweralkylaminocarbonyl, aralkylaminocarbonyl, (heterocycloloweralkyl)alkylaminocarbonyl, heteroarylaminocarbonyl, heteroaralkylaminocarbonyl, (cycloloweralkyl)aminocarbonyl, formyl, and alkenyl.
11. The compound of claim 10, wherein R_2 is selected from the group consisting of hydrogen and halo.
12. The compound of claim 10, wherein R_2 is selected from the group consisting of optionally substituted phenyl, phenylloweralkyl, hydroxyphenyl, loweralkyloxyphenyl,

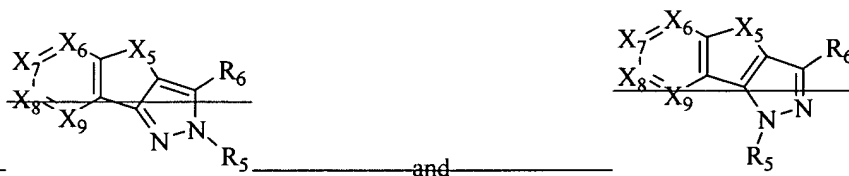
haloloweralkylsulfonylloweralkyloxyphenyl, diloweralkylaminoloweralkyloxyphenyl, (cycloaminoloweralkyl)loweralkyloxyphenyl, and (heterocycloalkyl)loweralkyloxyphenyl.

13. The compound of claim 10, wherein R_2 is selected from the group consisting of optionally substituted loweralkyl, haloloweralkyl, hydroxyalkyl, phenyloxyloweralkyl, hydroxyphenyloweralkyl, haloloweralkylsulfonylloweralkyl, and phenylthioloweralkyl.
14. The compound of claim 10, wherein R_2 is selected from the group consisting of optionally substituted phenylcarbonyl, (heterocycloalkyl)loweralkyloxyphenylcarbonyl, hydroxyphenylcarbonyl, halophenylcarbonyl, phenylloweralkylaminocarbonyl, diloweralkylaminocarbonyl, phenylloweralkylaminocarbonyl, hydroxyphenylloweralkylaminocarbonyl, cycloalkylaminocarbonyl, loweralkylphenylcarbonyl, haloloweralkylsulfonylloweralkyloxyphenylcarbonyl, and nitrophenylcarbonyl.
15. The compound of claim 14, wherein R_1 and R_3 are selected independently from the group consisting of optionally substituted cycloalkyl, cycloheteroalkyl, (cycloalkyl)alkyl, and (cycloheteroalkyl)alkyl.
16. The compound of claim 15, wherein R_1 and R_3 are selected independently from the group consisting of optionally substituted aryl, heteroaryl, aralkyl, and heteroaralkyl.
17. The compound of claim 16, wherein R_1 and R_3 are selected independently from the group consisting of optionally substituted aryl and aralkyl.
18. The compound of claim 17, wherein at least one of R_1 and R_3 is substituted with at least one hydroxyl or thio group.
19. The compound of claim 18, wherein at least one of R_1 and R_3 is selected independently from the group consisting of phenyl, phenyloxyloweralkyl, and phenylloweralkyl.
20. The compound of claim 20, wherein at least one of R_1 and R_3 is substituted optionally with a substituent selected from the group consisting of halogen, loweralkyl, haloloweralkyl, loweralkyloxy, haloloweralkyloxy, carboxy, loweralkyloxycarbonyl, aryloxycarbonyl, (cycloalkyl)oxycarbonyl, aralkyloxycarbonyl, heteroaryloxycarbonyl, heteroaralkyloxycarbonyl, (heterocycloalkyl)oxycarbonyl, loweralkylsulfinyl, loweralkylsulfonyl, loweralkylthio, arylthio, loweralkylcarbonyloxy, arylcarbonyloxy, aralkylcarbonyloxy, heteroarylcarbonyloxy, heteroaralkylcarbonyloxy, (cycloalkyl)carbonyloxy, (heterocycloalkyl)carbonyloxy, aminocarbonyl, loweralkylaminocarbonyl, arylaminocarbonyl, aralkylaminocarbonyl, heteroarylaminocarbonyl, and heteroaralkylaminocarbonyl.

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21. The compound of claim 20, wherein R_4 is selected from the group consisting of hydrogen and optionally substituted loweralkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, cycloalkyl, cycloheteroalkyl, loweralkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, cycloalkylcarbonyl, cycloheteroalkylcarbonyl, aralkylcarbonyl, heteroaralkylcarbonyl, (cycloalkyl)alkylcarbonyl, (cycloheteroalkyl)alkylcarbonyl, loweralkylaminocarbonyl, arylaminocarbonyl, aralkylaminocarbonyl, heteroarylaminocarbonyl, heteroaralkylaminocarbonyl, cycloalkylaminocarbonyl, (cycloalkyl)alkylaminocarbonyl, cycloheteroalkylaminocarbonyl, (cycloheteroalkyl)alkylaminocarbonyl, loweralkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl, cycloheteroalkylsulfonyl, aralkylsulfonyl, heteroaralkylsulfonyl, (cycloalkyl)alkylsulfonyl, and (cycloheteroalkyl)alkylsulfonyl.

22. A compound having the formula selected from the group consisting of:



and their pharmaceutically acceptable salts, wherein:

X_5 is $(X_{10})_n$, wherein n is an integer between 1 and 3 and X_{10} , for each value of n , is selected independently from the group consisting of oxygen, SO_x where x is an integer between 0 and 2, nitrogen, nitrogen substituted with optionally substituted loweralkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, arylcarbonyl, alkylcarbonyl, aralkylcarbonyl, heteroarylcarbonyl, heteroaralkylcarbonyl, and methylene or methine, each optionally substituted from the group consisting of halo, cyano, nitro, thio, amino, carboxyl, formyl, and optionally substituted loweralkyl, loweralkylcarbonyloxy, arylcarbonyloxy, heteroarylcarbonyloxy, cycloalkylcarbonyloxy, cycloheteroalkylcarbonyloxy, aralkylcarbonyloxy, heteroaralkylcarbonyloxy, (cycloalkyl)alkylcarbonyloxy, (cycloheteroalkyl)alkylcarbonyloxy, loweralkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, cycloalkylcarbonyl, cycloheteroalkylcarbonyl, aralkylcarbonyl, heteroaralkylcarbonyl, (cycloalkyl)alkylcarbonyl, (cycloheteroalkyl)alkylcarbonyl, loweralkylaminocarbonyl, arylaminocarbonyl, aralkylaminocarbonyl, heteroarylaminocarbonyl, heteroaralkylaminocarbonyl, loweralkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, cycloalkylcarbonylamino, cycloheteroalkylcarbonylamino, aralkylcarbonylamino, heteroaralkylcarbonylamino, (cycloalkyl)alkylcarbonylamino, (cycloheteroalkyl)alkylcarbonylamino, loweralkylamino, arylamino, aralkylamino,

heteroaryl amino, heteroaralkyl amino, loweralkyl sulfonyl, aryl sulfonyl, heteroaryl sulfonyl, cycloalkyl sulfonyl, cycloheteroalkyl sulfonyl, aralkyl sulfonyl, heteroaralkyl sulfonyl, (cycloalkyl)alkyl sulfonyl, (cycloheteroalkyl)alkyl sulfonyl, loweralkyl sulfinyl, aryl sulfinyl, heteroaryl sulfinyl, cycloalkyl sulfinyl, cycloheteroalkyl sulfinyl, aralkyl sulfinyl, heteroaralkyl sulfinyl, (cycloalkyl)alkyl sulfinyl, (cycloheteroalkyl)alkyl sulfinyl, loweralkyl oxy, aryl oxy, heteroaryl oxy, cycloalkyl oxy, cycloheteroalkyl oxy, aralkyl oxy, heteroaralkyl oxy, (cycloalkyl)alkyl oxy, and (cycloheteroalkyl)alkyl oxy, loweralkyl thio, aryl thio, heteroaryl thio, cycloalkyl thio, cycloheteroalkyl thio, aralkyl thio, heteroaralkyl thio, (cycloalkyl)alkyl thio, (cycloheteroalkyl)alkyl thio, loweralkyl thiocarbonyl, aryl thiocarbonyl, heteroaryl thiocarbonyl, cycloalkyl thiocarbonyl, cycloheteroalkyl thiocarbonyl, aralkyl thiocarbonyl, heteroaralkyl thiocarbonyl, (cycloalkyl)alkyl thiocarbonyl, (cycloheteroalkyl)alkyl thiocarbonyl, loweralkyl oxycarbonyl, aryl oxycarbonyl, heteroaryl oxycarbonyl, cycloalkyl oxycarbonyl, cycloheteroalkyl oxycarbonyl, aralkyl oxycarbonyl, heteroaralkyl oxycarbonyl, (cycloalkyl)alkyl oxycarbonyl, (cycloheteroalkyl)alkyl oxycarbonyl, imino loweralkyl, imino cycloalkyl, imino cycloheteroalkyl, imino aralkyl, imino heteroaralkyl, (cycloalkyl)imino alkyl, and (cycloheteroalkyl)imino alkyl;

X₆-X₉ are selected independently from the group consisting of oxygen, sulfur, sulfinyl, nitrogen, and optionally substituted methine;

R₅ is selected from the group consisting of hydrogen, carboxyl, formyl, and optionally substituted loweralkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, cycloalkyl, cycloheteroalkyl, loweralkyl carbonyl, aryl carbonyl, heteroaryl carbonyl, cycloalkyl carbonyl, cycloheteroalkyl carbonyl, aralkyl carbonyl, heteroaralkyl carbonyl, (cycloalkyl)alkyl carbonyl, (cycloheteroalkyl)alkyl carbonyl, loweralkyl aminocarbonyl, aryl aminocarbonyl, aralkyl aminocarbonyl, heteroaryl aminocarbonyl, heteroaralkyl aminocarbonyl, cycloalkyl aminocarbonyl, (cycloalkyl)alkyl aminocarbonyl, cycloheteroalkyl aminocarbonyl, (cycloheteroalkyl)alkyl aminocarbonyl, loweralkyl sulfonyl, aryl sulfonyl, heteroaryl sulfonyl, cycloalkyl sulfonyl, cycloheteroalkyl sulfonyl, aralkyl sulfonyl, heteroaralkyl sulfonyl, (cycloalkyl)alkyl sulfonyl, (cycloheteroalkyl)alkyl sulfonyl, loweralkyl sulfinyl, aryl sulfinyl, heteroaryl sulfinyl, cycloalkyl sulfinyl, cycloheteroalkyl sulfinyl, aralkyl sulfinyl, heteroaralkyl sulfinyl, (cycloalkyl)alkyl sulfinyl, (cycloheteroalkyl)alkyl sulfinyl, aryl thiocarbonyl, heteroaryl thiocarbonyl, cycloalkyl thiocarbonyl, cycloheteroalkyl thiocarbonyl, aralkyl thiocarbonyl, heteroaralkyl thiocarbonyl, (cycloalkyl)alkyl thiocarbonyl, (cycloheteroalkyl)alkyl thiocarbonyl, loweralkyl oxycarbonyl, aryl oxycarbonyl, heteroaryl oxycarbonyl, cycloalkyl oxycarbonyl, cycloheteroalkyl oxycarbonyl,

aralkyloxy, carbonyloxy, carbonyl, heteroaralkyloxy, carbonyl, (cycloalkyl)alkyloxy, carbonyl, (cycloheteroalkyl)alkyloxy, carbonyl, carboxamido, loweralkylcarboxamido, arylcarboxamido, aralkylcarboxamido, heteroarylcarboxamido, heteroaralkylcarboxamido, cycloalkylcarboxamido, cycloheteroalkylcarboxamido and

R_6 is selected from the group consisting of optionally substituted loweralkyl, aryl, heteroaryl, cycloalkyl, cycloheteroalkyl, aralkyl, heteroaralkyl, (cycloalkyl)alkyl, and (cycloheteroalkyl)alkyl.

23. The compound of claim 22, wherein n is 1 and X_{10} is selected from the group consisting of nitrogen, optionally substituted nitrogen, and optionally substituted methylene or methine.

24. The compound of claim 23, wherein R_6 is selected from the group consisting of optionally substituted aryl, heteroaryl, aralkyl, and heteroaralkyl.

25. The compound of claim 24, wherein R_6 is optionally substituted aryl or aralkyl.

26. The compound of claim 25, wherein R_6 includes at least one hydroxyl, thio, or optionally substituted loweralkyloxy, aryloxy, heteroaryloxy, loweralkylthio, arylthio, heteroarylthio, loweralkylcarbonyl, arylcarbonyl, or heteroarylcarbonyl moiety.

27. The compound of claim 26, wherein R_6 is selected from the group consisting of phenyl, phenyloxy, loweralkyl, and phenyl, loweralkyl.

28. The compound of claim 27, wherein R_6 is further substituted optionally with a moiety selected from the group consisting of halogen, loweralkyl, haloloweralkyl, loweralkyloxy, haloloweralkyloxy, carboxy, loweralkyloxy, carbonyl, aryl, carbonyl, (cycloalkyl)oxy, carbonyl, aralkyloxy, carbonyl, heteroaryl, carbonyl, heteroaralkyloxy, carbonyl, (heterocycloalkyl)oxy, carbonyl, loweralkylsulfinyl, loweralkylsulfonyl, loweralkylthio, arylthio, loweralkylcarbonyloxy, arylcarbonyloxy, aralkylcarbonyloxy, heteroarylcarbonyloxy, heteroaralkylcarbonyloxy, (cycloalkyl)carbonyloxy, (heterocycloalkyl)carbonyloxy, aminocarbonyl, loweralkylaminocarbonyl, arylaminocarbonyl, aralkylaminocarbonyl, heteroarylaminocarbonyl, and heteroaralkylaminocarbonyl.

29. The compound of claim 28, wherein R_6 is selected from the group consisting of hydrogen and optionally substituted loweralkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, cycloalkyl, cycloheteroalkyl, loweralkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, cycloalkylcarbonyl, cycloheteroalkylcarbonyl, aralkylcarbonyl, heteroaralkylcarbonyl, (cycloalkyl)alkylcarbonyl, (cycloheteroalkyl)alkylcarbonyl, loweralkylaminocarbonyl, arylaminocarbonyl, aralkylaminocarbonyl, heteroarylaminocarbonyl,

heteroaralkylaminocarbonyl, cycloalkylaminocarbonyl, (cycloalkyl)alkylaminocarbonyl, cycloheteroalkylaminocarbonyl, (cycloheteroalkyl)alkylaminocarbonyl, loweralkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl, cycloheteroalkylsulfonyl, aralkylsulfonyl, heteroaralkylsulfonyl, (cycloalkyl)alkylsulfonyl, and (cycloheteroalkyl)alkylsulfonyl.

30. The compound of claim 22, wherein n is 2 and each X_{10} is selected independently from the group consisting of nitrogen, optionally substituted nitrogen, optionally substituted methylene, and optionally substituted methine.

31. The compound of claim 30, wherein R_6 is selected from the group consisting of optionally substituted aryl, heteroaryl, aralkyl, and heteroaralkyl.

32. The compound of claim 31, wherein R_6 is optionally substituted aryl or aralkyl.

33. The compound of claim 32, wherein R_6 includes at least one hydroxyl, thio, or optionally substituted loweralkyloxy, aryloxy, heteroaryloxy, loweralkylthio, arylthio, heteroarylthio, loweralkylcarbonyl, arylcarbonyl, or heteroarylcarbonyl moiety.

34. The compound of claim 33, wherein R_6 is selected from the group consisting of phenyl, phenyloxyloweralkyl, and phenylloweralkyl.

35. The compound of claim 34, wherein R_6 is further substituted optionally with a moiety selected from the group consisting of halogen, loweralkyl, haloloweralkyl, loweralkyloxy, haloloweralkyloxy, carboxy, loweralkyloxycarbonyl, aryloxycarbonyl, (cycloalkyl)oxycarbonyl, aralkyloxycarbonyl, heteroaryloxycarbonyl, heteroaralkyloxycarbonyl, (heterocycloalkyl)oxycarbonyl, loweralkylsulfinyl, loweralkylsulfonyl, loweralkylthio, arylthio, loweralkylcarbonyloxy, arylcarbonyloxy, aralkylcarbonyloxy, heteroarylcarbonyloxy, heteroaralkylcarbonyloxy, (cycloalkyl)carbonyloxy, (heterocycloalkyl)carbonyloxy, aminocarbonyl, loweralkylaminocarbonyl, arylaminocarbonyl, aralkylaminocarbonyl, heteroarylaminocarbonyl, and heteroaralkylaminocarbonyl.

36. The compound of claim 35, wherein R_5 is selected from the group consisting of hydrogen and optionally substituted loweralkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, cycloalkyl, cycloheteroalkyl, loweralkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, cycloalkylcarbonyl, cycloheteroalkylcarbonyl, aralkylcarbonyl, heteroaralkylcarbonyl, (cycloalkyl)alkylcarbonyl, (cycloheteroalkyl)alkylcarbonyl, loweralkylaminocarbonyl, arylaminocarbonyl, aralkylaminocarbonyl, heteroarylaminocarbonyl, heteroaralkylaminocarbonyl, cycloalkylaminocarbonyl, (cycloalkyl)alkylaminocarbonyl, cycloheteroalkylaminocarbonyl, (cycloheteroalkyl)alkylaminocarbonyl, loweralkylsulfonyl,

arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl, cycloheteroalkylsulfonyl, aralkylsulfonyl, heteroaralkylsulfonyl, (cycloalkyl)alkylsulfonyl, and (cycloheteroalkyl)alkylsulfonyl.

37. The compound of claim 22, wherein X_6 - X_9 are selected independently from the group consisting of nitrogen and optionally substituted methine.

38. The compound of claim 37, wherein at least one of X_6 - X_9 is methine substituted with a moiety selected from the group consisting of loweralkyloxy, aryloxy, heteroaryloxy, loweralkylthio, arylthio, heteroarylthio, loweralkylcarbonyl, arylcarbonyl, and heteroarylcarbonyl.

39. The compound of claim 38, wherein X_7 is methine substituted with hydroxy or loweralkyloxy.

40. The compound of claim 38, wherein n is 1 and X_{10} is selected from the group consisting of nitrogen, optionally substituted nitrogen, and optionally substituted methylene or methine.

41. The compound of claim 40, wherein R_6 is selected from the group consisting of optionally substituted aryl, heteroaryl, aralkyl, and heteroaralkyl.

42. The compound of claim 41, wherein R_6 is optionally substituted aryl or aralkyl.

43. The compound of claim 42, wherein R_6 includes at least one hydroxyl, thio, or optionally substituted loweralkyloxy, aryloxy, heteroaryloxy, loweralkylthio, arylthio, heteroarylthio, loweralkylcarbonyl, arylcarbonyl, or heteroarylcarbonyl moiety.

44. The compound of claim 43, wherein R_6 is selected from the group consisting of phenyl, phenyloxy, loweralkyl, and phenyl, loweralkyl.

45. The compound of claim 44, wherein R_6 is further substituted optionally with a moiety selected from the group consisting of halogen, loweralkyl, haloloweralkyl, loweralkyloxy, haloloweralkyloxy, carboxy, loweralkyloxy, carbonyl, aryl, aryloxy, carbonyl, (cycloalkyl)oxy, carbonyl, aralkyloxy, carbonyl, heteroaryloxy, carbonyl, heteroaralkyloxy, carbonyl, (heterocycloalkyl)oxy, carbonyl, loweralkylsulfinyl, loweralkylsulfonyl, loweralkylthio, arylthio, loweralkylcarbonyloxy, arylcarbonyloxy, aralkylcarbonyloxy, heteroarylcarbonyloxy, heteroaralkylcarbonyloxy, (cycloalkyl)carbonyloxy, (heterocycloalkyl)carbonyloxy, aminocarbonyl, loweralkylaminocarbonyl, arylaminocarbonyl, aralkylaminocarbonyl, heteroarylaminocarbonyl, and heteroaralkylaminocarbonyl.

46. The compound of claim 45, wherein R_5 is selected from the group consisting of hydrogen and optionally substituted loweralkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, cycloalkyl, cycloheteroalkyl,

loweralkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, cycloalkylcarbonyl, cycloheteroalkylcarbonyl, aralkylcarbonyl, heteroaralkylcarbonyl, (cycloalkyl)alkylcarbonyl, (cycloheteroalkyl)alkylcarbonyl, loweralkylaminocarbonyl, arylaminocarbonyl, aralkylaminocarbonyl, heteroarylaminocarbonyl, heteroaralkylaminocarbonyl, cycloalkylaminocarbonyl, (cycloalkyl)alkylaminocarbonyl, cycloheteroalkylaminocarbonyl, (cycloheteroalkyl)alkylaminocarbonyl, loweralkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl, cycloheteroalkylsulfonyl, aralkylsulfonyl, heteroaralkylsulfonyl, (cycloalkyl)alkylsulfonyl, and (cycloheteroalkyl)alkylsulfonyl.

47. The compound of claim 37, wherein n is 2 and each X_{10} is selected independently from the group consisting of nitrogen, optionally substituted nitrogen, optionally substituted methylene, and optionally substituted methine.
48. The compound of claim 47, wherein R_6 is selected from the group consisting of optionally substituted aryl, heteroaryl, aralkyl, and heteroaralkyl.
49. The compound of claim 48, wherein R_6 is optionally substituted aryl or aralkyl.
50. The compound of claim 49, wherein R_6 includes at least one hydroxyl, thio, or optionally substituted loweralkyloxy, aryloxy, heteroaryloxy, loweralkylthio, arylthio, heteroarylthio, loweralkylcarbonyl, arylcarbonyl, or heteroarylcarbonyl moiety.
51. The compound of claim 50, wherein R_6 is selected from the group consisting of phenyl, phenyloxyloweralkyl, and phenylloweralkyl.
52. The compound of claim 51, wherein R_6 is further substituted optionally with a moiety selected from the group consisting of halogen, loweralkyl, haloloweralkyl, loweralkyloxy, haloloweralkyloxy, carboxy, loweralkyloxy carbonyl, aryloxy carbonyl, (cycloalkyl)oxy carbonyl, aralkyloxy carbonyl, heteroaryloxy carbonyl, heteroaralkyloxy carbonyl, (heterocycloalkyl)oxy carbonyl, loweralkylsulfinyl, loweralkylsulfonyl, loweralkylthio, arylthio, loweralkylcarbonyloxy, arylcarbonyloxy, aralkylcarbonyloxy, heteroarylcarbonyloxy, heteroaralkylcarbonyloxy, (cycloalkyl)carbonyloxy, (heterocycloalkyl)carbonyloxy, aminocarbonyl, loweralkylaminocarbonyl, arylaminocarbonyl, aralkylaminocarbonyl, heteroarylaminocarbonyl, and heteroaralkylaminocarbonyl.
53. The compound of claim 51, wherein R_6 is selected from the group consisting of hydrogen and optionally substituted loweralkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, cycloalkyl, cycloheteroalkyl, loweralkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, cycloalkylcarbonyl, cycloheteroalkylcarbonyl, aralkylcarbonyl, heteroaralkylcarbonyl, (cycloalkyl)alkylcarbonyl, (cycloheteroalkyl)alkylcarbonyl,

loweralkylaminocarbonyl, arylaminocarbonyl, aralkylaminocarbonyl, heteroarylaminocarbonyl, heteroaralkylaminocarbonyl, cycloalkylaminocarbonyl, (cycloalkyl)alkylaminocarbonyl, cycloheteroalkylaminocarbonyl, (cycloheteroalkyl)alkylaminocarbonyl, loweralkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl, cycloheteroalkylsulfonyl, aralkylsulfonyl, heteroaralkylsulfonyl, (cycloalkyl)alkylsulfonyl, and (cycloheteroalkyl)alkylsulfonyl.

54 A composition for use in treating an estrogen receptor-mediated disorder in a mammal, comprising a therapeutically effective amount of a compound of claim 1 in a pharmaceutically effective carrier.

~~55. A composition for use in treating an estrogen receptor-mediated disorder in a mammal, comprising a therapeutically effective amount of a compound of claim 22 in a pharmaceutically effective carrier.~~

~~56. A method for treating an estrogen receptor-mediated disorder in a mammal, comprising administering to such mammal a therapeutically effective amount of a compound of claim 1 in a pharmaceutically effective carrier.~~

~~57. The method of claim 56, wherein said disease is chosen from the group consisting of: osteoporosis, estrogen-dependent cancer, Alzheimer's disease, and estrogen-dependent illness.~~

~~58. A method for treating an estrogen receptor-mediated disorder in a mammal, comprising administering to such mammal a therapeutically effective amount of a compound of claim 22 in a pharmaceutically effective carrier.~~

~~59. The method of claim 58, wherein said disease is chosen from the group consisting of: osteoporosis, estrogen-dependent cancer, and estrogen-dependent illness.~~

~~60. A method for preventing an estrogen receptor-mediated disorder in a mammal, comprising administering to such mammal a prophylactically effective amount of a compound of claim 1 in a pharmaceutically effective carrier.~~

~~61. The method of claim 60, wherein said disease is chosen from the group consisting of: osteoporosis, estrogen-dependent cancer, Alzheimer's disease and estrogen-dependent illness.~~

~~62. A method for preventing an estrogen receptor-mediated disorder in a mammal, comprising administering to such mammal a prophylactically effective amount of a compound of claim 22 in a pharmaceutically effective carrier.~~

~~63. The method of claim 62, wherein said disease is chosen from the group consisting of: osteoporosis, estrogen-dependent cancer, and estrogen-dependent illness.~~

~~64. A method for modulating the biological activity of an estrogen receptor, comprising exposing said estrogen receptor to a compound of claim 1 to modulate thereby the binding of said estrogen receptor to an associated estrogen receptor element.~~

~~65. The method of claim 64, wherein said estrogen receptor is the α isoform.~~

~~66. The method of claim 64, wherein said estrogen receptor is the β isoform.~~

~~67. A method for modulating the biological activity of an estrogen receptor, comprising exposing said estrogen receptor to a compound of claim 22 to modulate thereby the binding of said estrogen receptor to an associated estrogen receptor element.~~

~~68. The method of claim 67, wherein said estrogen receptor is the α isoform.~~

~~69. The method of claim 67, wherein said estrogen receptor is the β isoform.~~